

=> d his

(FILE 'HOME' ENTERED AT 15:59:36 ON 26 MAY 2005)

FILE 'REGISTRY' ENTERED AT 15:59:54 ON 26 MAY 2005

L1 STRUCTURE UPLOADED
L2 0 S L1 SSS
L3 49 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:00:41 ON 26 MAY 2005

L4 4 S L3

=> d bib abs hitstr 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:3450 CAPLUS

DN 140:99617

TI Peptide conjugates with drugs as prodrugs for activation by tissue or cell-specific proteinases

IN Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna

PA Corvas International, Inc., USA

SO U.S. Pat. Appl. Publ., 359 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004001801	A1	20040101	US 2002-156214	20020523
PRAI	US 2002-156214		20020523		
OS	MARPAT 140:99617				

AB Conjugates of peptides with drugs that are substrates of a tissue-specific proteinases that can be used to treat diseases associated with abnormal levels of the enzyme. The enzyme may be transmembrane serine proteinase, a urokinase, or an endotheliase. The conjugates are to be substrates for proteinases that may be cell- or tissue-specific. The drug moiety of the conjugate may be cytotoxic. The drug may be bound to the peptide by a labile linker that will eliminate itself after the preliminary hydrolysis.

IT 476681-34-2D, drug conjugates 476681-35-3D, drug conjugates 476681-36-4D, drug conjugates 476681-37-5D, drug conjugates 476681-38-6D, drug conjugates 476681-39-7D, drug conjugates 642482-56-2D, drug conjugates 642482-58-4D, drug conjugates 642482-60-8D, drug conjugates 642482-61-9D, drug conjugates 642483-00-9D, drug conjugates 642483-01-0D, drug conjugates 642483-02-1D, drug conjugates 642483-03-2D, drug conjugates 642483-54-3D, drug conjugates 642483-55-4D, drug conjugates 642483-56-5D, drug conjugates 642483-57-6D, drug conjugates 642485-37-8D, drug conjugates 642485-38-9D, drug conjugates

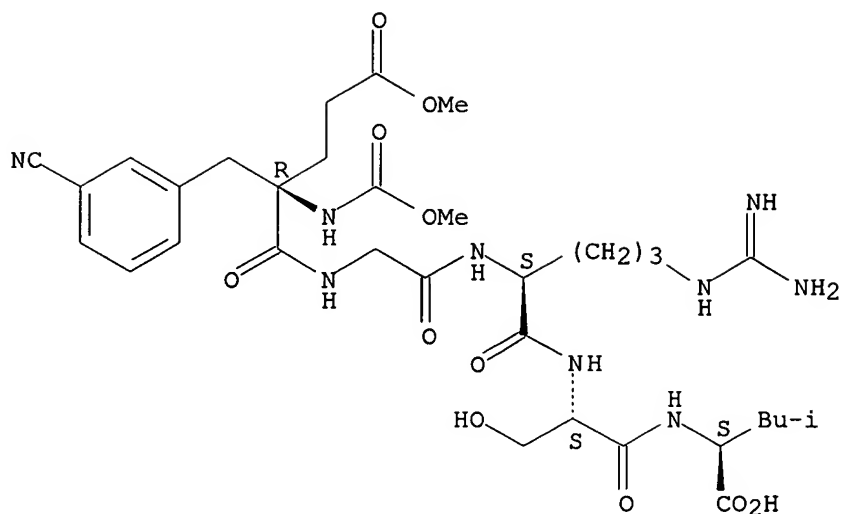
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amino acid sequence, as prodrug; peptide conjugates with drugs as prodrugs for activation by tissue or cell-specific proteinases)

RN 476681-34-2 CAPLUS

CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L- α -glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

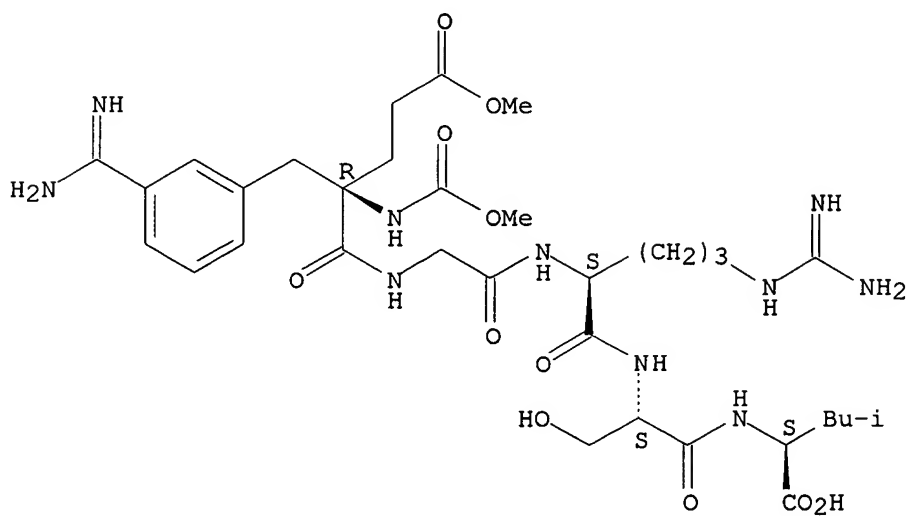
Absolute stereochemistry.



RN 476681-35-3 CAPLUS

CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L- α -glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

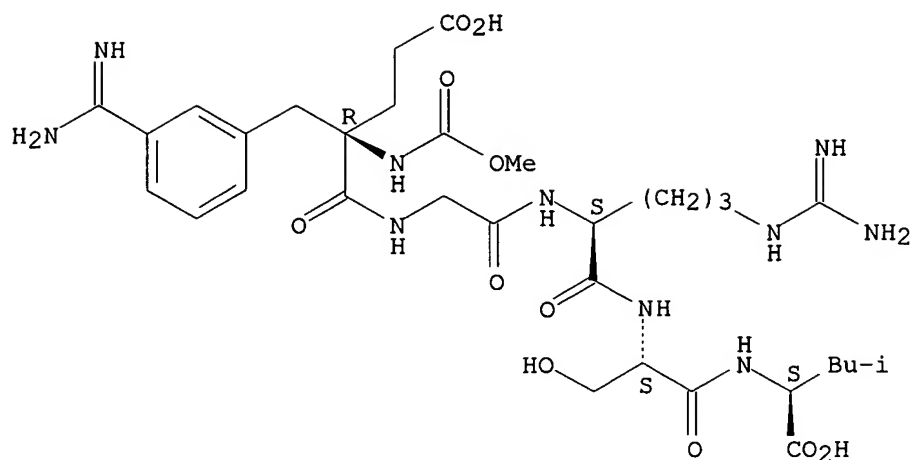
Absolute stereochemistry.



RN 476681-36-4 CAPLUS

CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L- α -glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

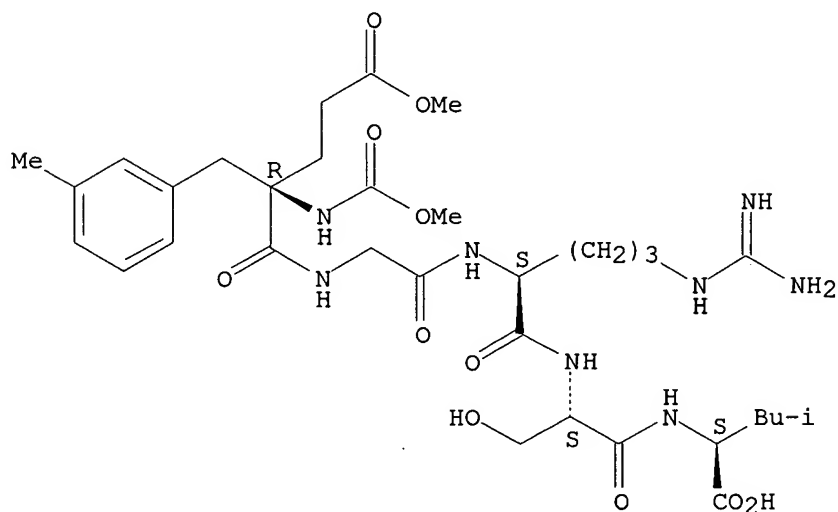
Absolute stereochemistry.



RN 476681-37-5 CAPLUS

CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

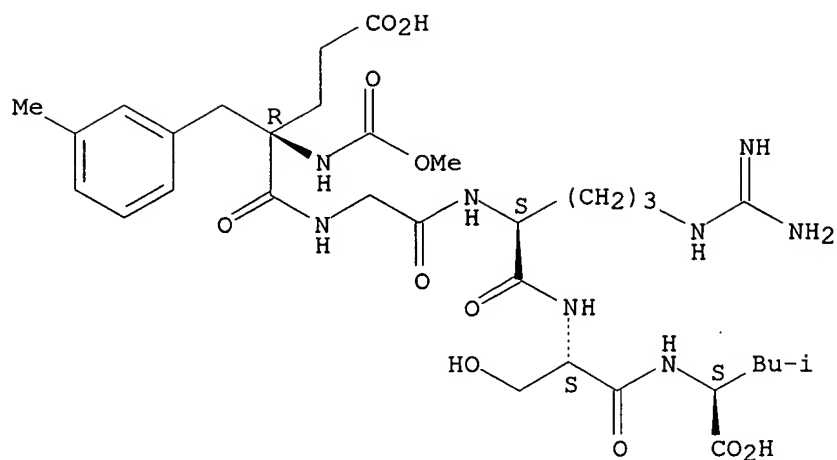
Absolute stereochemistry.



RN 476681-38-6 CAPLUS

CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

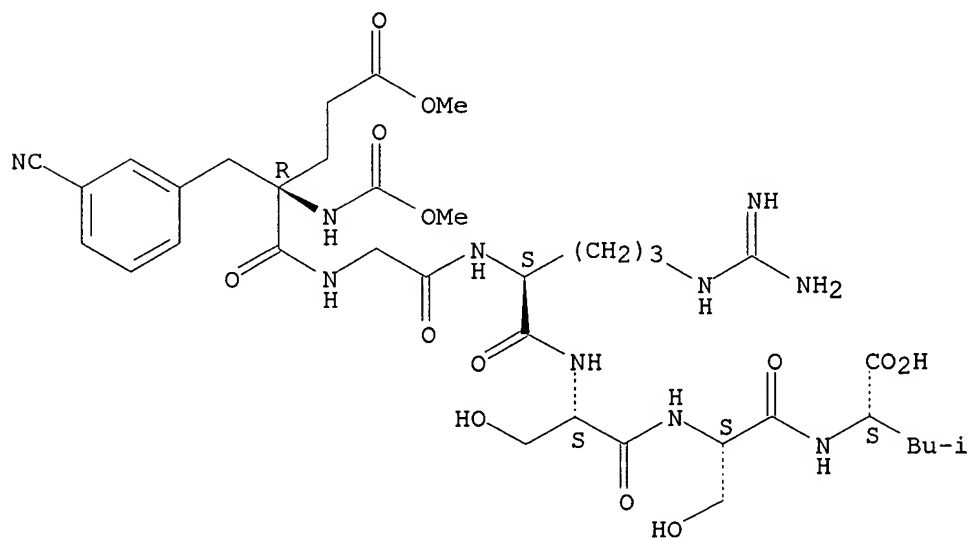
Absolute stereochemistry.



RN 476681-39-7 CAPLUS

CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

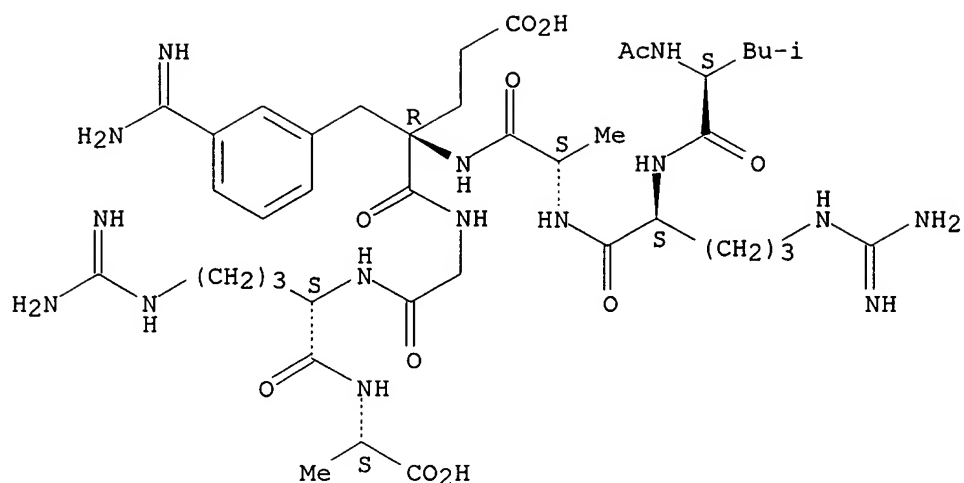
Absolute stereochemistry.



RN 642482-56-2 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

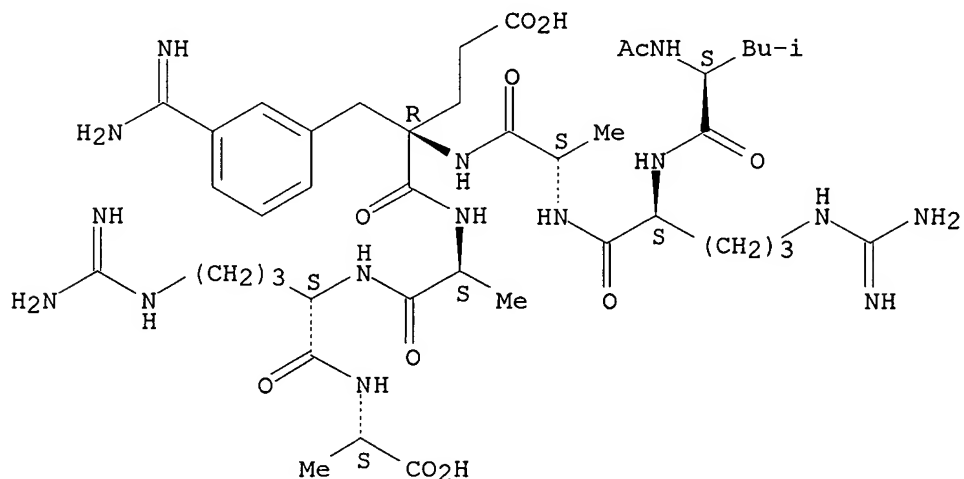
Absolute stereochemistry.



RN 642482-58-4 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

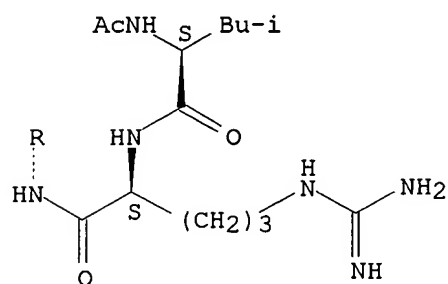
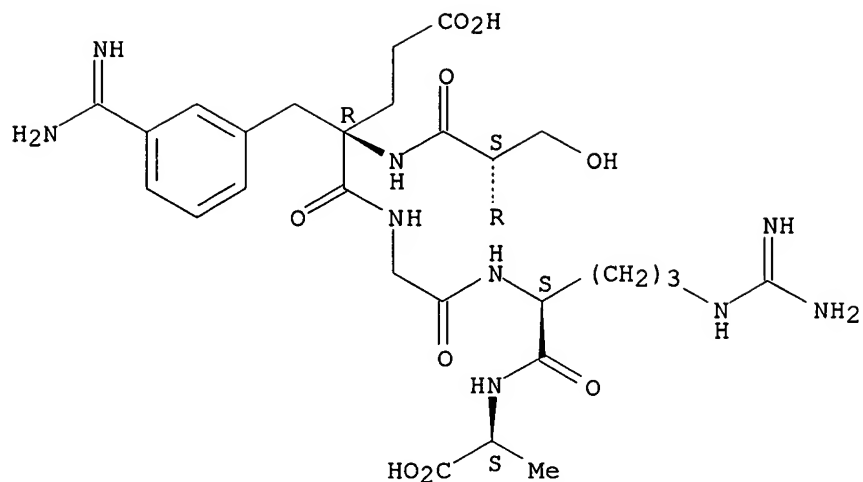
Absolute stereochemistry.



RN 642482-60-8 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

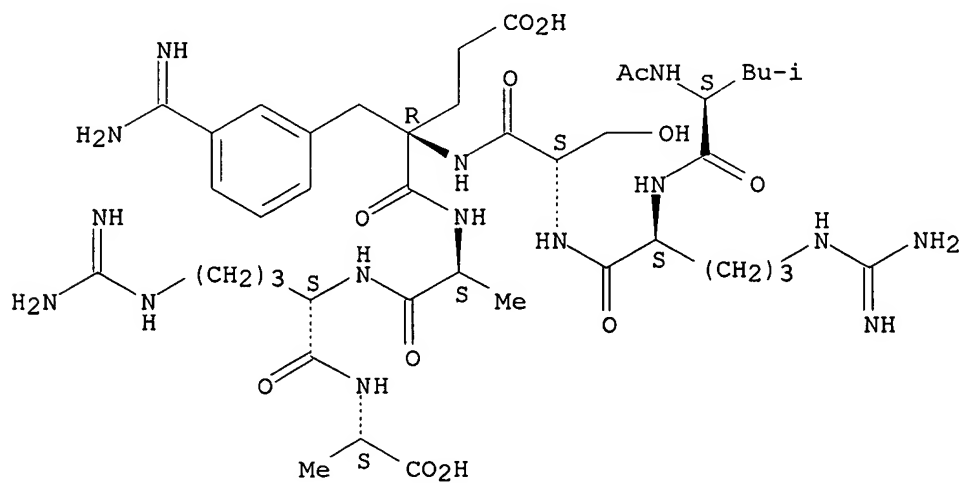
Absolute stereochemistry.



RN 642482-61-9 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl-(9CI) (CA INDEX NAME)

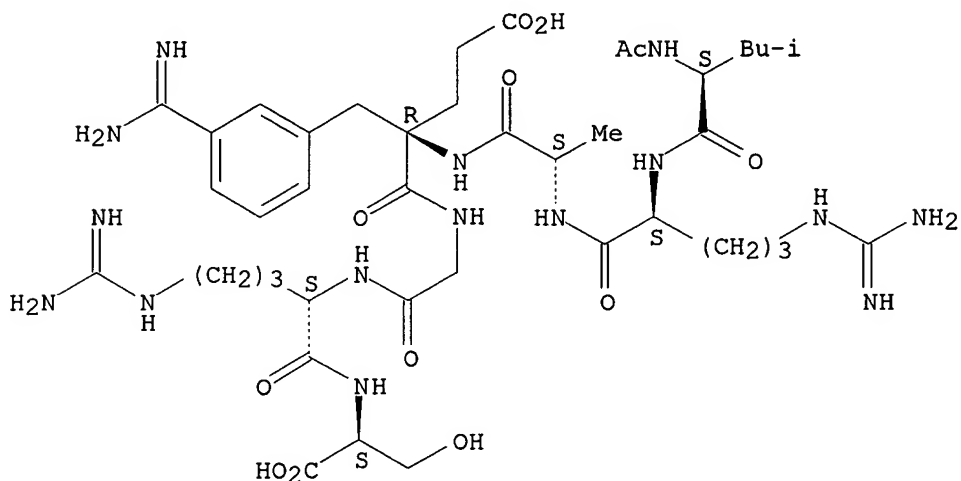
Absolute stereochemistry.



RN 642483-00-9 CAPLUS

CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl- (9CI)
(CA INDEX NAME)

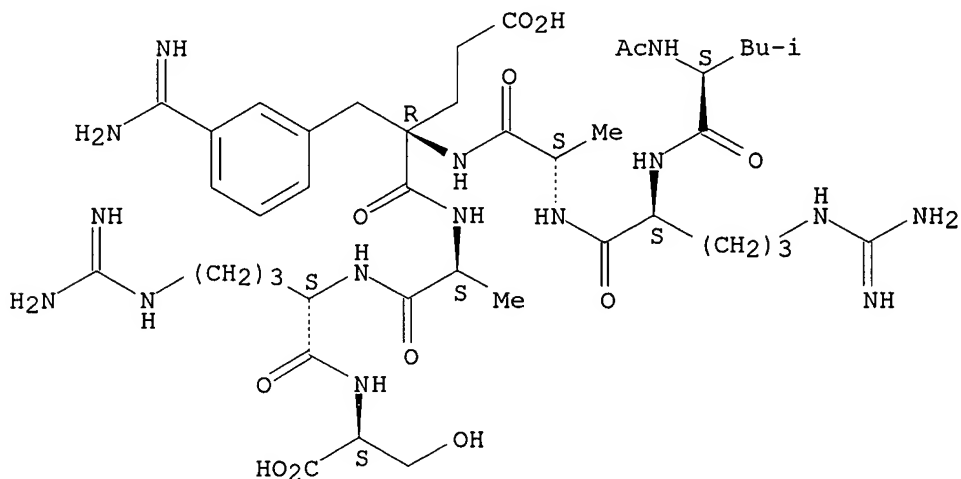
Absolute stereochemistry.



RN 642483-01-0 CAPLUS

CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

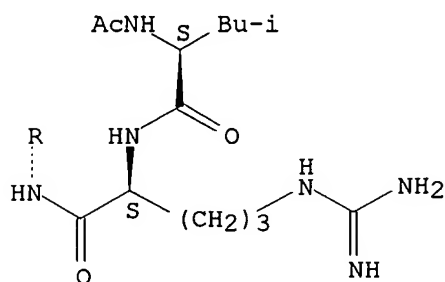
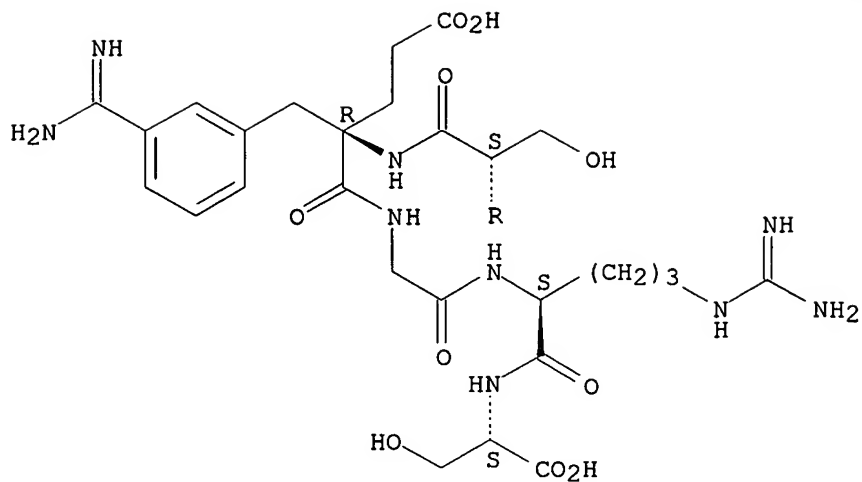
Absolute stereochemistry.



RN 642483-02-1 CAPLUS

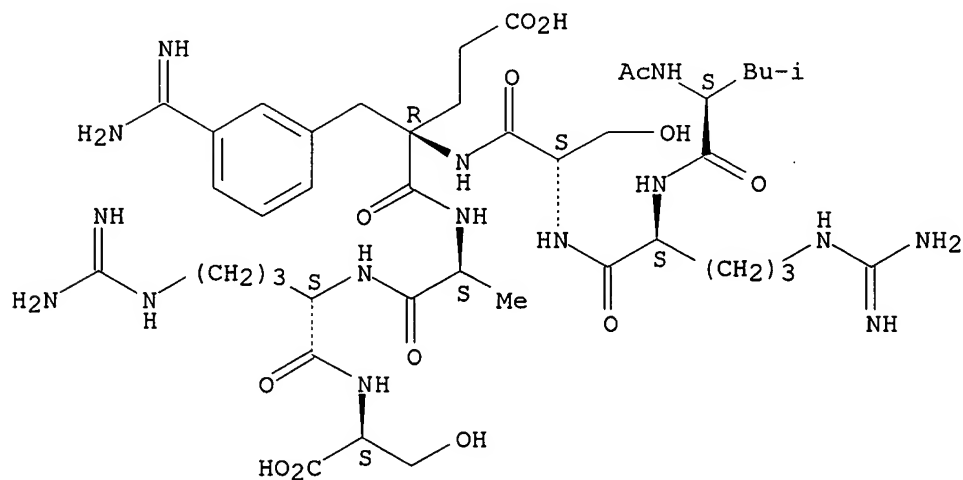
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 642483-03-2 CAPLUS
 CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl-(9CI) (CA INDEX NAME)

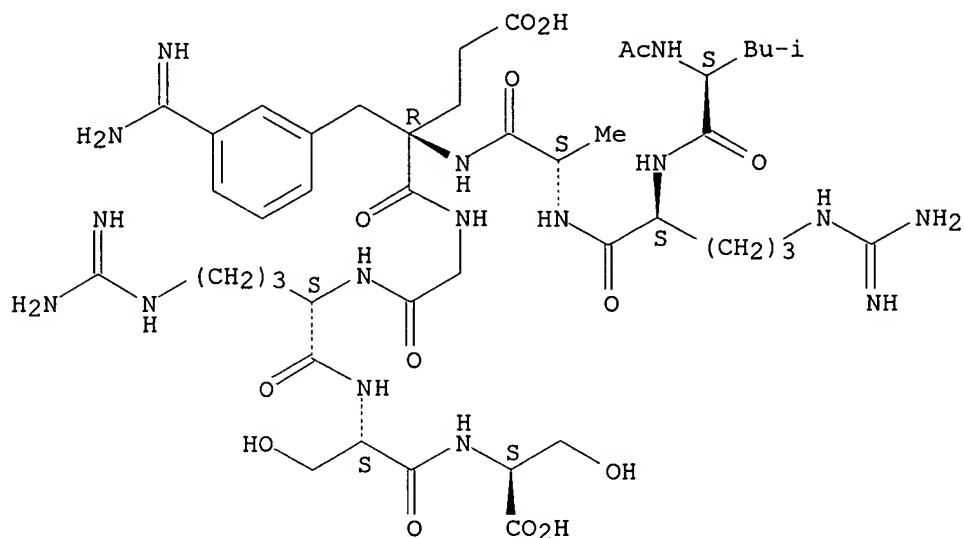
Absolute stereochemistry.



RN 642483-54-3 CAPLUS

CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

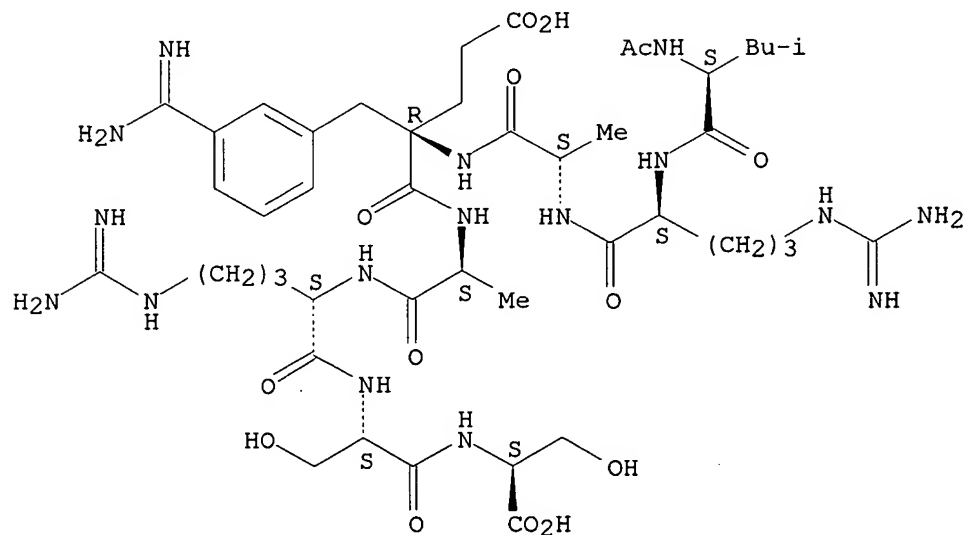
Absolute stereochemistry.



RN 642483-55-4 CAPLUS

CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

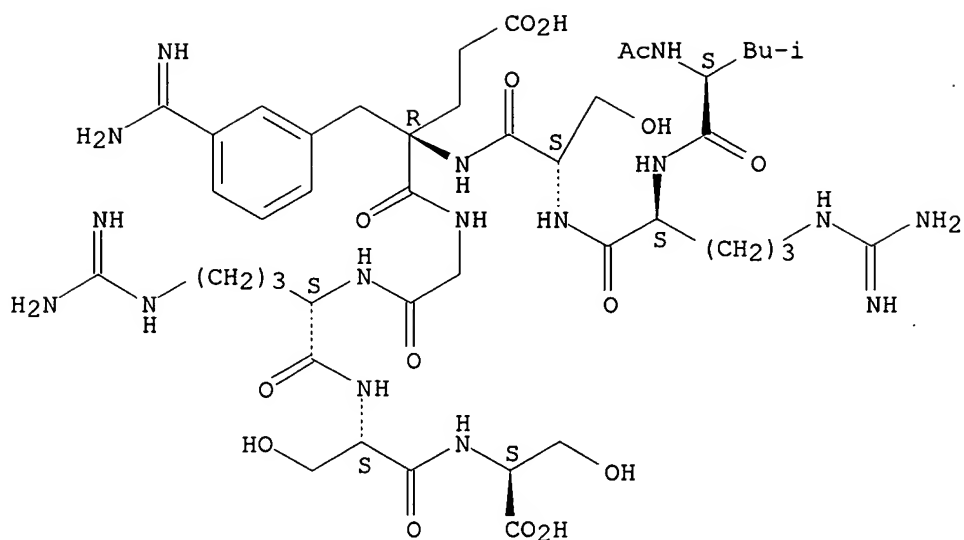
Absolute stereochemistry.



RN 642483-56-5 CAPLUS

CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

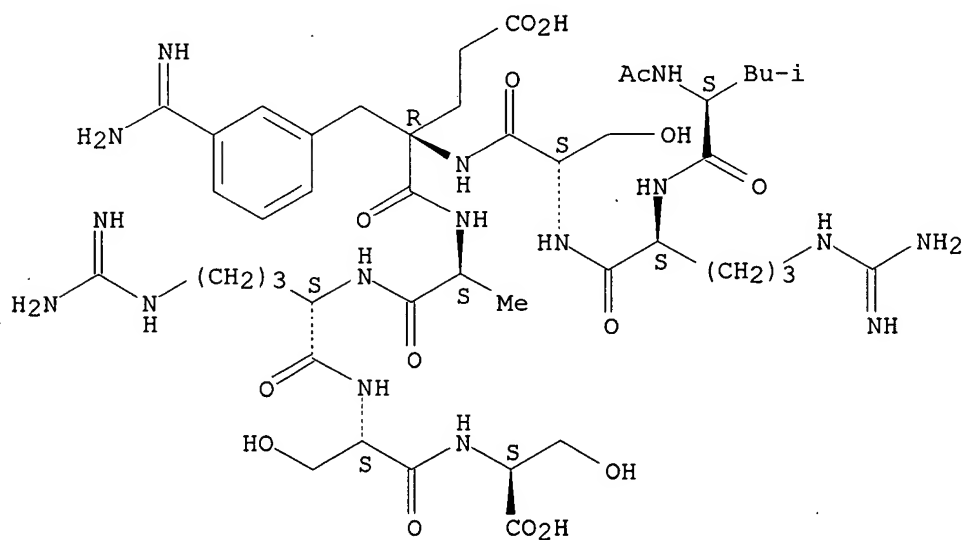
Absolute stereochemistry.



RN 642483-57-6 CAPLUS

CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

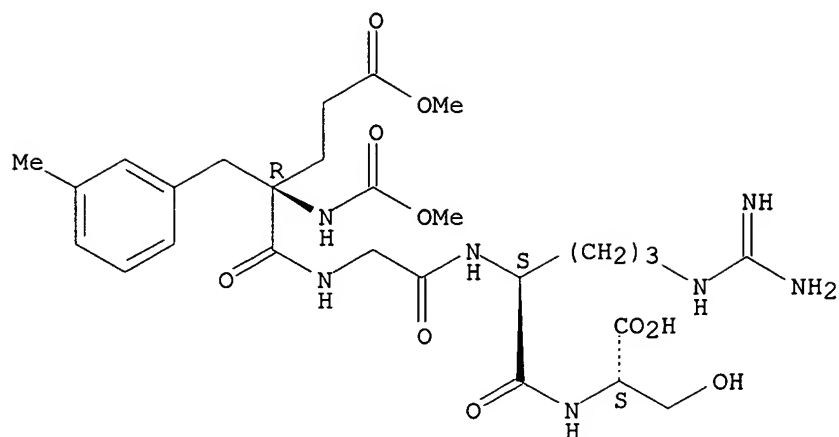
Absolute stereochemistry.



RN 642485-37-8 CAPLUS

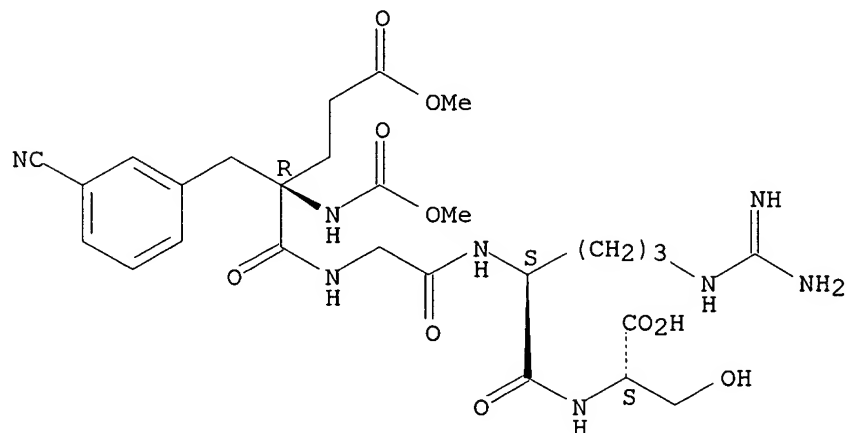
CN L-Serine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642485-38-9 CAPLUS
 CN L-Serine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-, 1-methyl ester (9CI) (CA INDEX NAME)

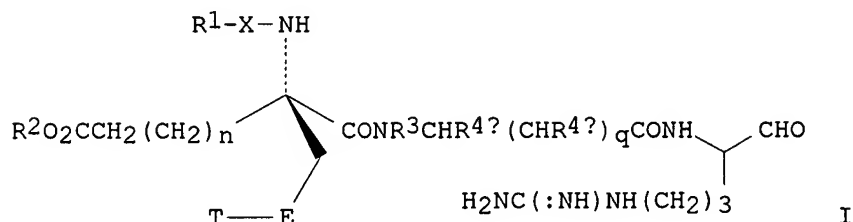
Absolute stereochemistry.



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:203392 CAPLUS
 DN 138:188079
 TI Preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1
 IN Semple, Joseph E.; Coombs, Gary S.; Reiner, John E.; Ong, Edgar O.; Araldi, Gian Luca
 PA USA
 SO U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of Appl. No. PCT/US01/28137.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003050251	A1	20030313	US 2002-92004	20020305
	US 6797504	B1	20040928	US 2000-657986	20000908
	WO 2002020475	A2	20020314	WO 2001-US28137	20010907
	WO 2002020475	A3	20030814		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-657986 A2 20000908
WO 2001-US28137 A2 20010907
OS MARPAT 138:188079
GI



AB The invention provides compds. I [X = CO, CO₂, CONH, SO₂, SO₂NH or a direct link; R₁ = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO₂, SO₂NH or a direct link, etc.; R₂ = H, alkyl; n = 0-3; R₃ = H, Me; R_{4a}, R_{4b} = H, alkyl; q = 0-2; when q = 0, R₃ and R_{4a} form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered aromatic ring having 0-2 ring heteroatoms; T is H, OH, CH₂OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl derivative] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepared and showed IC₅₀ < 100 nM for inhibition of matriptase activity.

IT 403669-10-3P 403669-11-4P 403669-12-5P
403669-13-6P 403669-14-7P 403669-15-8P
403669-16-9P 403669-17-0P 403669-18-1P
403669-20-5P 403669-21-6P 403669-22-7P
403669-27-2P

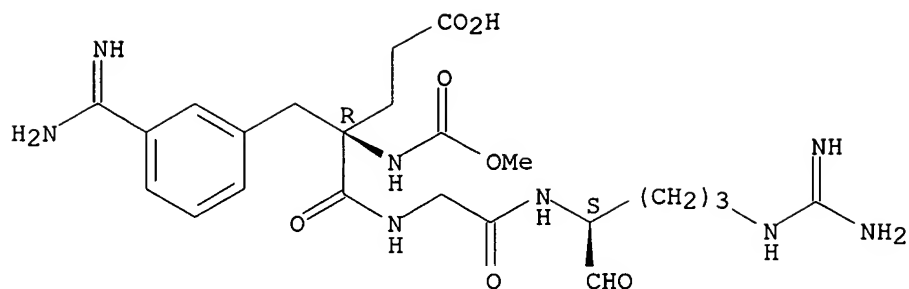
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

RN 403669-10-3 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI)
(CA INDEX NAME)

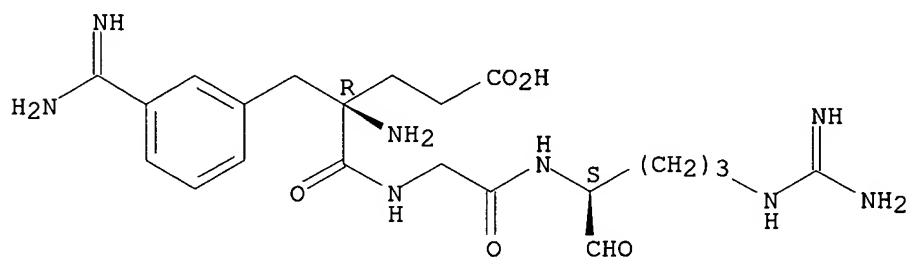
Absolute stereochemistry.



RN 403669-11-4 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

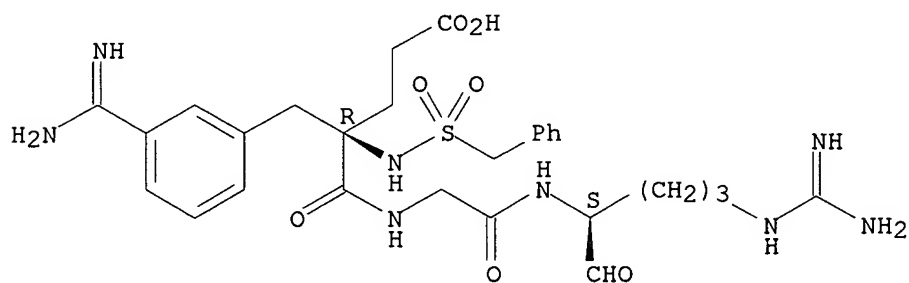
Absolute stereochemistry.



RN 403669-12-5 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

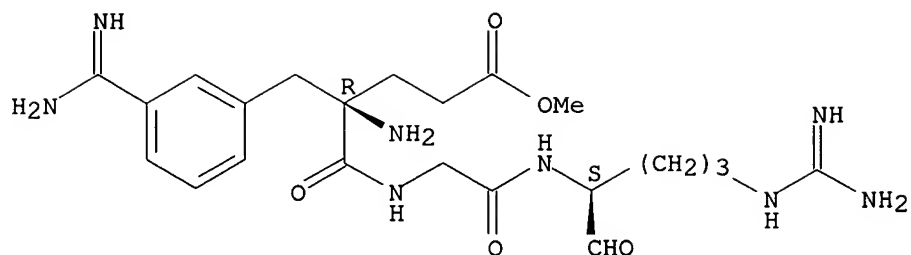
Absolute stereochemistry.



RN 403669-13-6 CAPLUS

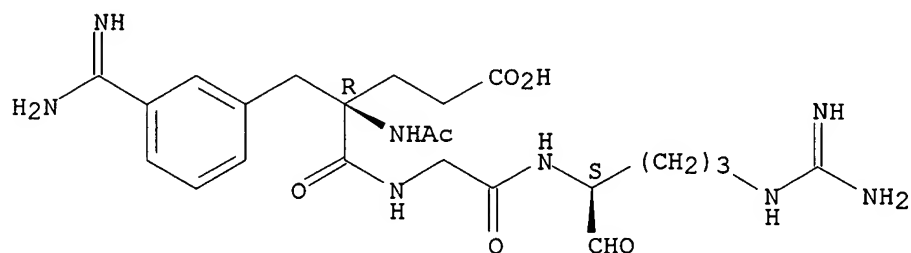
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



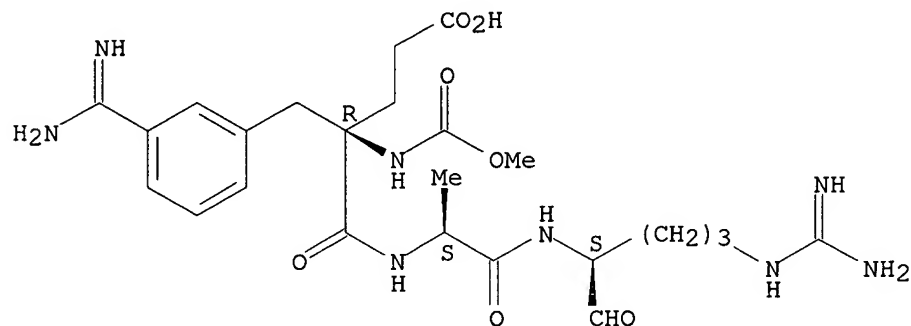
RN 403669-14-7 CAPLUS
 CN Glycinamide, N-acetyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



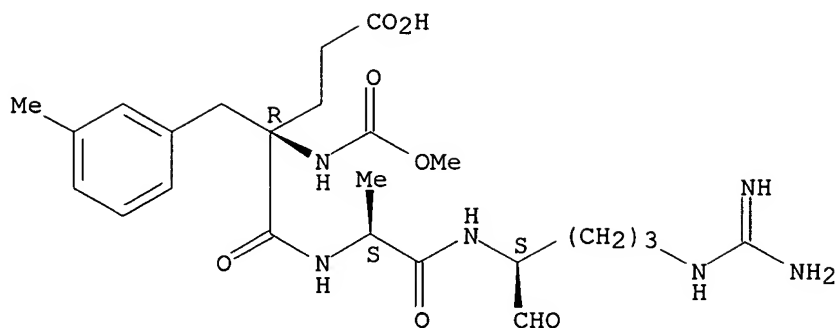
RN 403669-15-8 CAPLUS
 CN L-Alaninamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



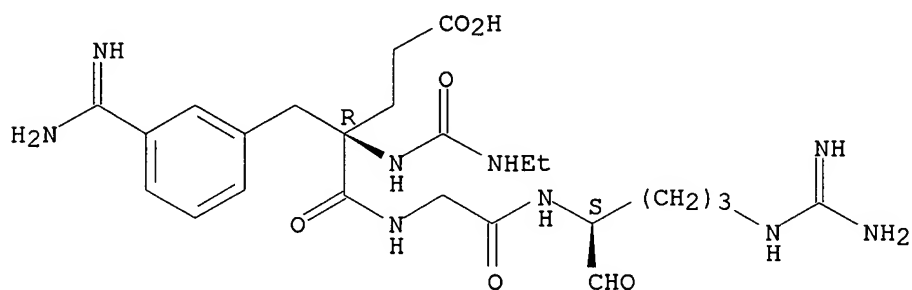
RN 403669-16-9 CAPLUS
 CN L-Alaninamide, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



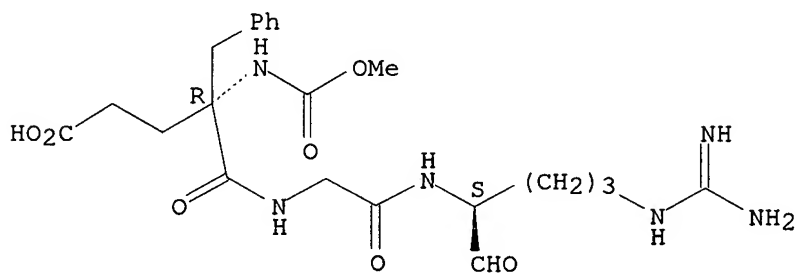
RN 403669-17-0 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-
 [(ethylamino)carbonyl]-L-α-glutamyl-N-[(1S)-4-
 [(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



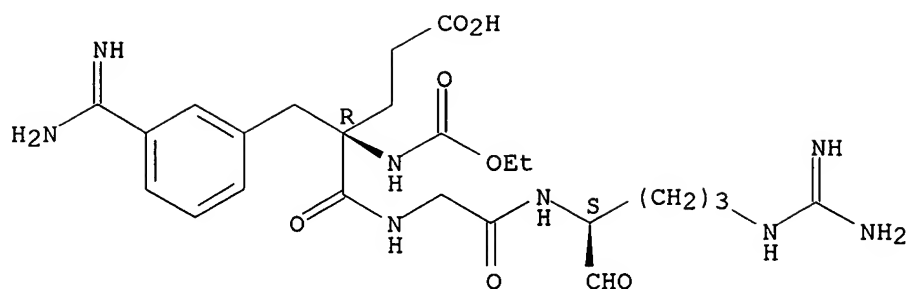
RN 403669-18-1 CAPLUS
 CN Glycinamide, N-(methoxycarbonyl)-2-(phenylmethyl)-L-α-glutamyl-N-
 [(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



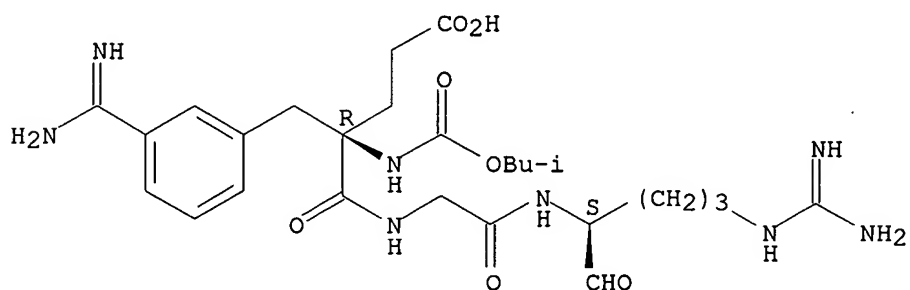
RN 403669-20-5 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(ethoxycarbonyl)-L-
 α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



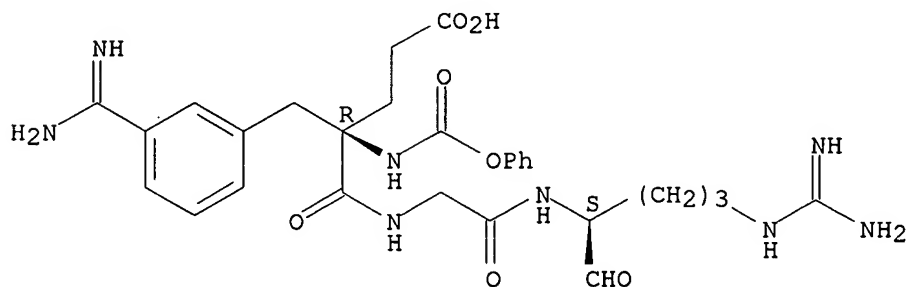
RN 403669-21-6 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(2-methylpropoxy)carbonyl]-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



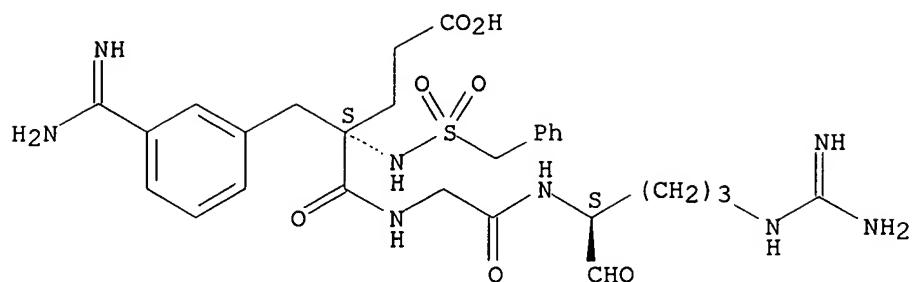
RN 403669-22-7 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(phenoxycarbonyl)-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403669-27-2 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-D- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:906473 CAPLUS
 DN 138:16587
 TI Conjugates activated by cell surface proteases and therapeutic uses thereof
 IN Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
 PA Corvas International, Inc., USA
 SO PCT Int. Appl., 581 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002095007	A2	20021128	WO 2002-US16819	20020523
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2001-293267	P	20010523		
OS	MARRAT 138:16587				

AB Conjugates, compns. and method for treatment, prevention, or amelioration of one or more symptoms of cell surface protease-related diseases, including MTSP-related, urokinase-type plasminogen activator (uPA) or endotheliase-related diseases, are provided. The conjugates for use in the compns. and methods are peptidic conjugates that contain therapeutic, including cytotoxic, agents.

IT 476677-79-9D, drug conjugates 476677-80-2D, drug conjugates 476677-81-3D, drug conjugates 476677-82-4D, drug conjugates 476677-95-9D, drug conjugates 476678-29-2D, drug conjugates 476678-31-6D, drug conjugates 476678-32-7D, drug conjugates 476678-33-8D, drug conjugates 476678-92-9D, drug conjugates 476678-93-0D, drug conjugates 476678-94-1D, drug conjugates 476678-95-2D, drug conjugates 476681-34-2 476681-34-2D, drug conjugates 476681-35-3 476681-36-4 476681-37-5 476681-37-5D, drug conjugates 476681-38-6 476681-39-7 476682-33-4 476682-34-5 476682-35-6

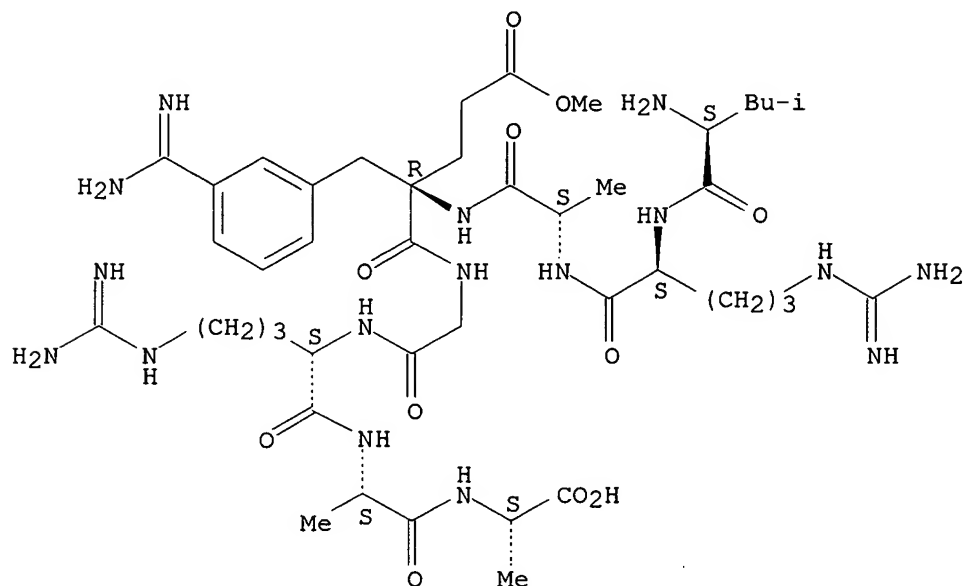
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug conjugates activated by cell surface proteases for drug delivery)

RN 476677-79-9 CAPLUS

CN L-Alanine, L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI)
(CA INDEX NAME)

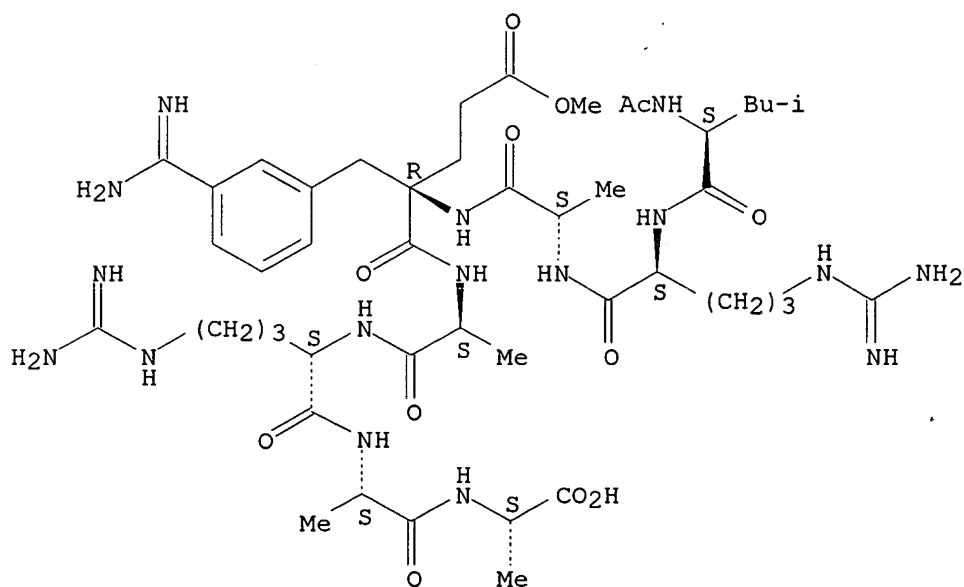
Absolute stereochemistry.



RN 476677-80-2 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

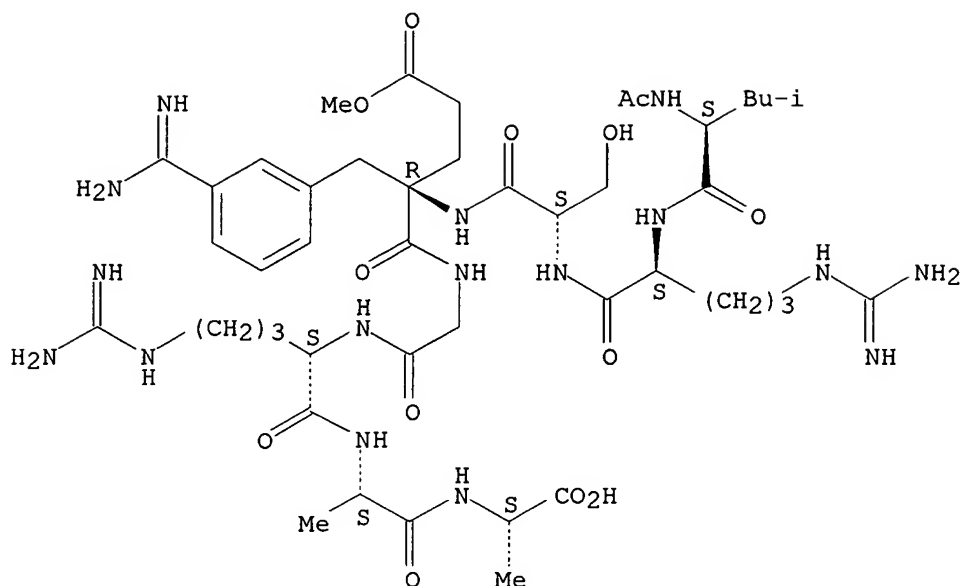
Absolute stereochemistry.



RN 476677-81-3 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

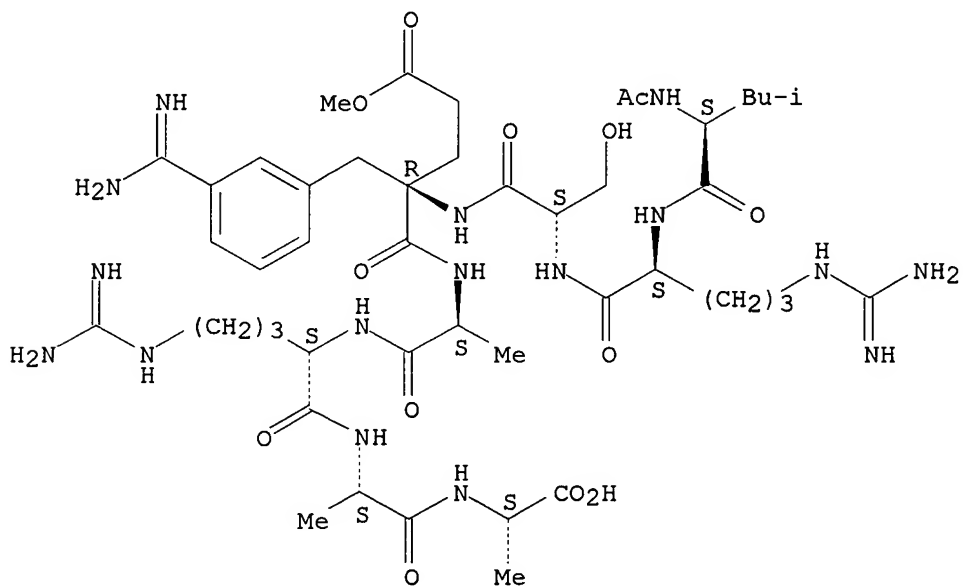
Absolute stereochemistry.



RN 476677-82-4 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

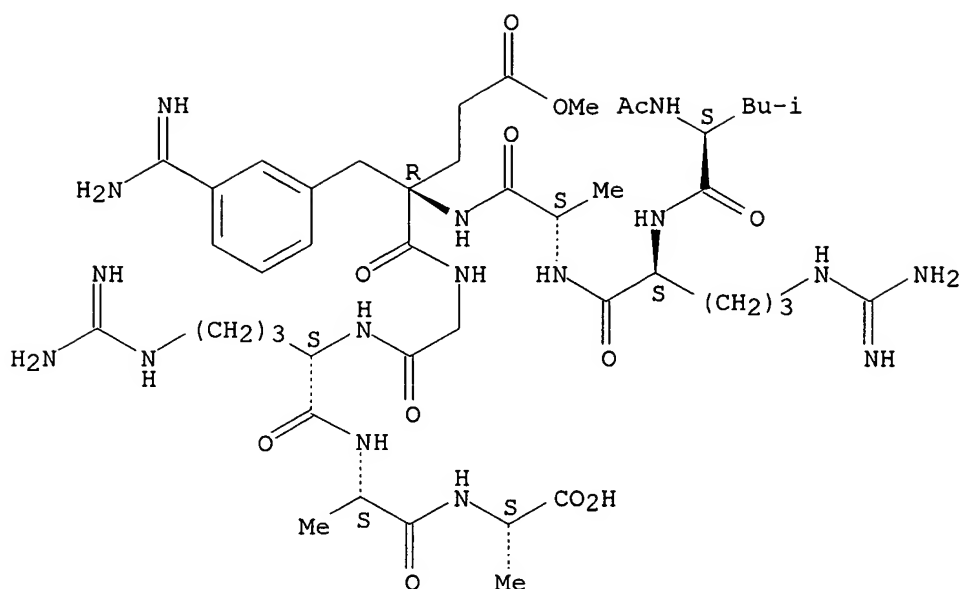
Absolute stereochemistry.



RN 476677-95-9 CAPLUS

CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

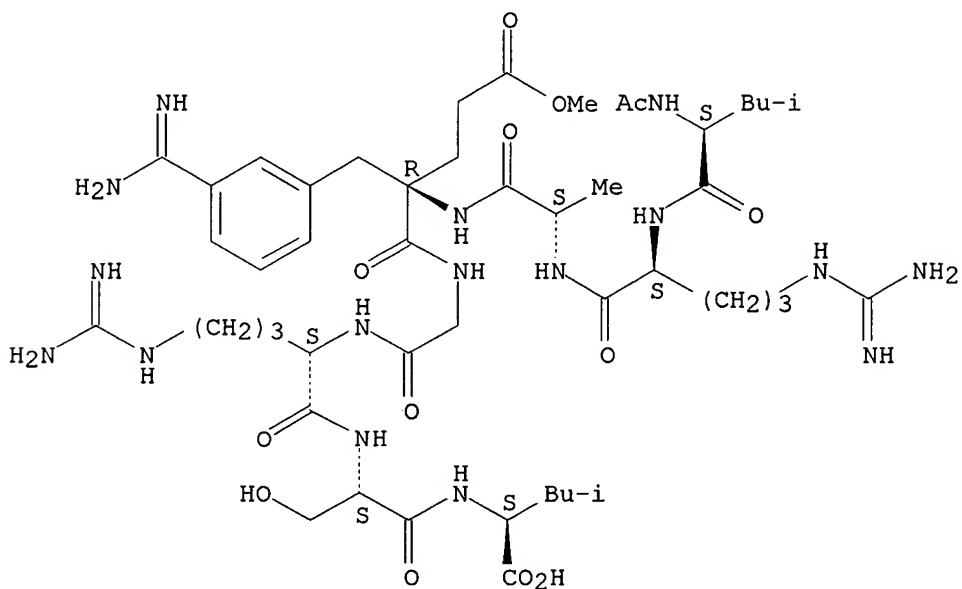
Absolute stereochemistry.



RN 476678-29-2 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

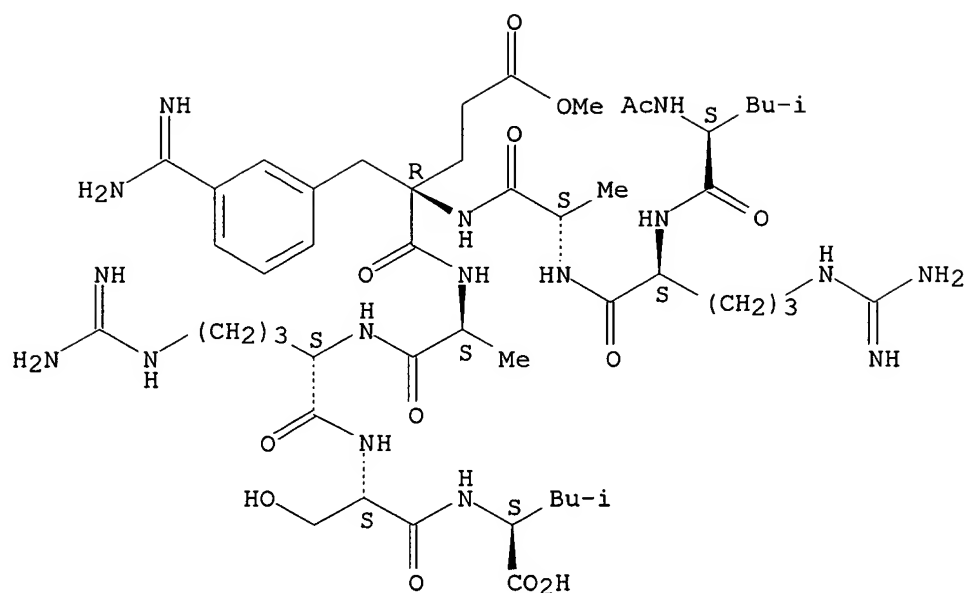
Absolute stereochemistry.



RN 476678-31-6 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

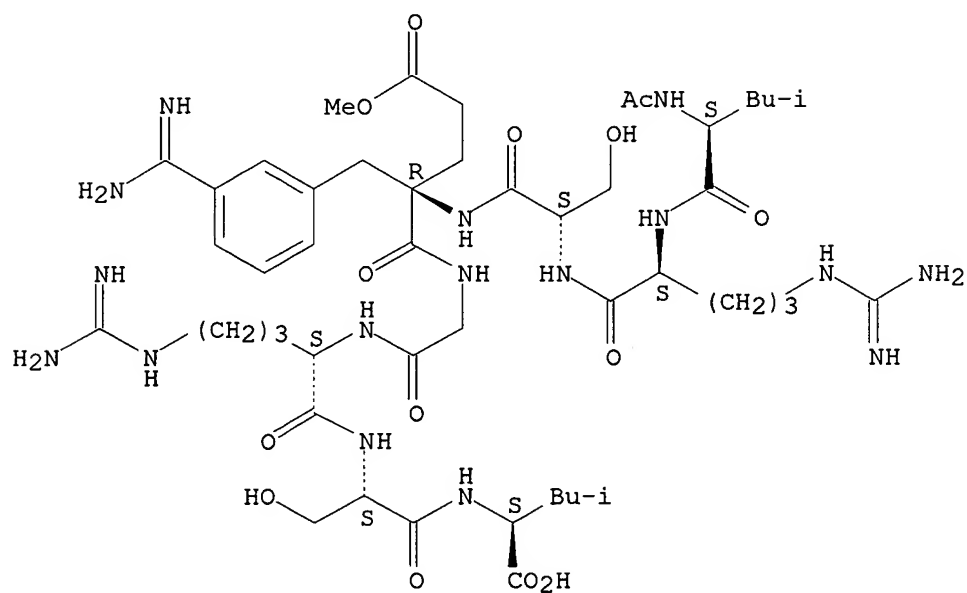
Absolute stereochemistry.



RN 476678-32-7 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

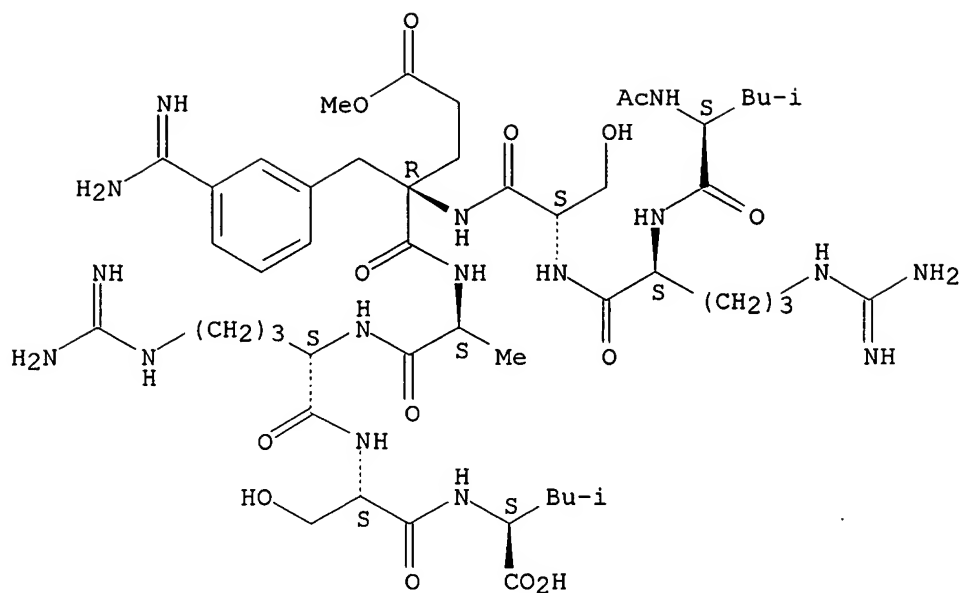
Absolute stereochemistry.



RN 476678-33-8 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

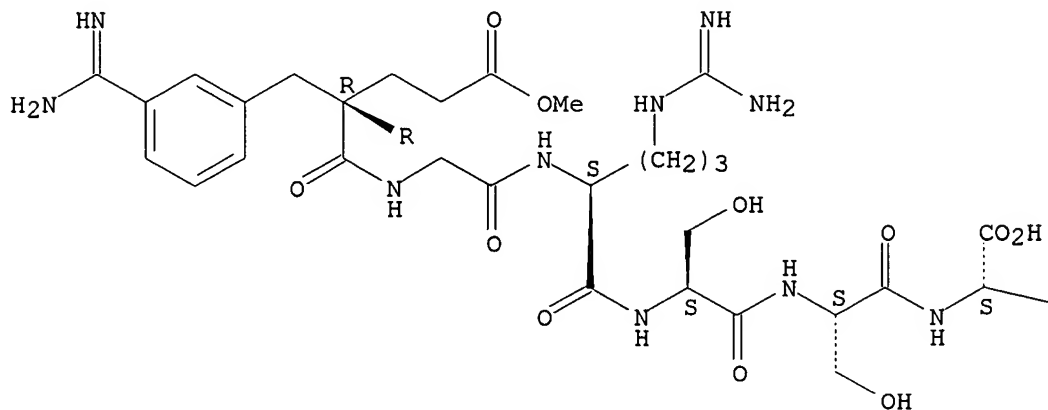


RN 476678-92-9 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

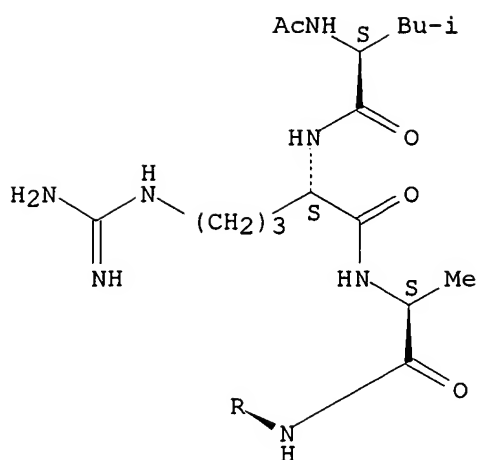
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

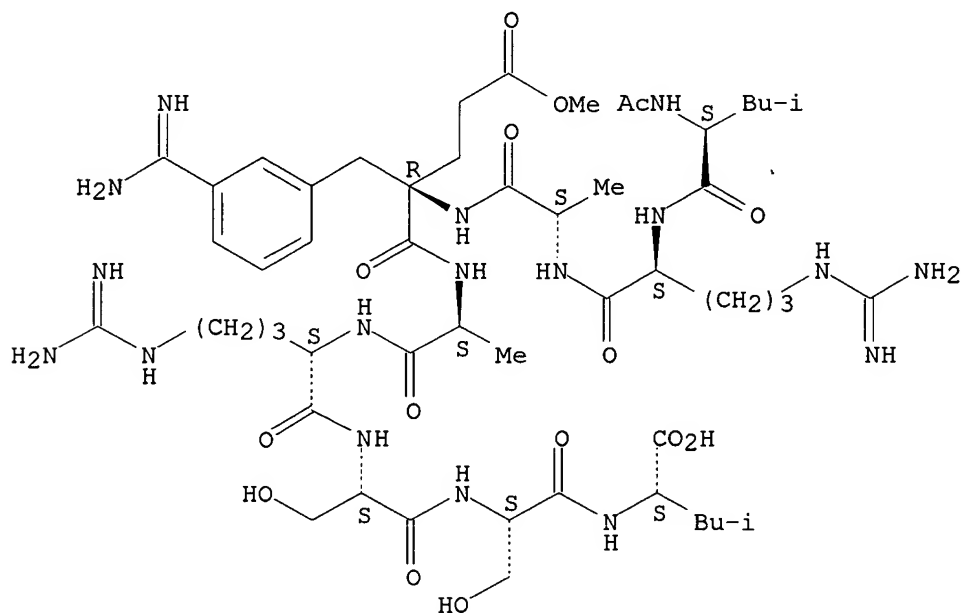
Bu-i



RN 476678-93-0 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

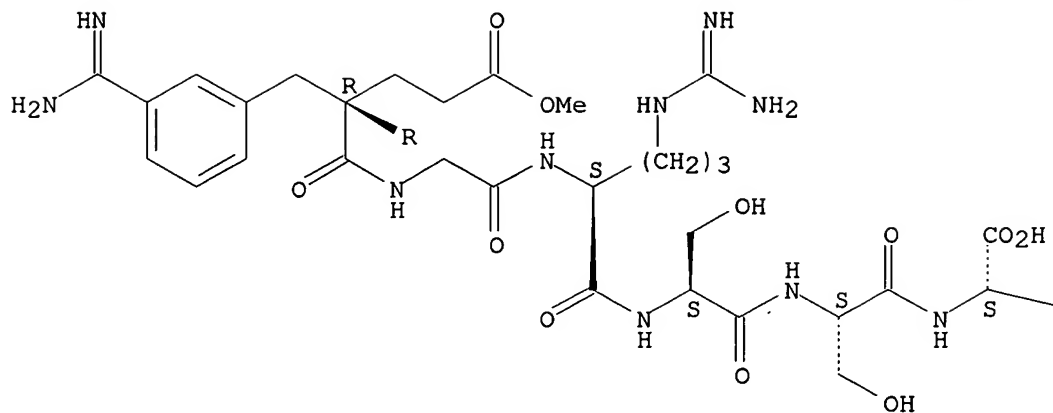


RN 476678-94-1 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

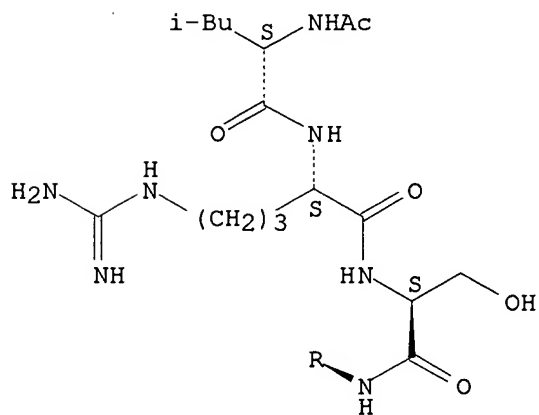
PAGE 1-A



PAGE 1-B

—Bu-i

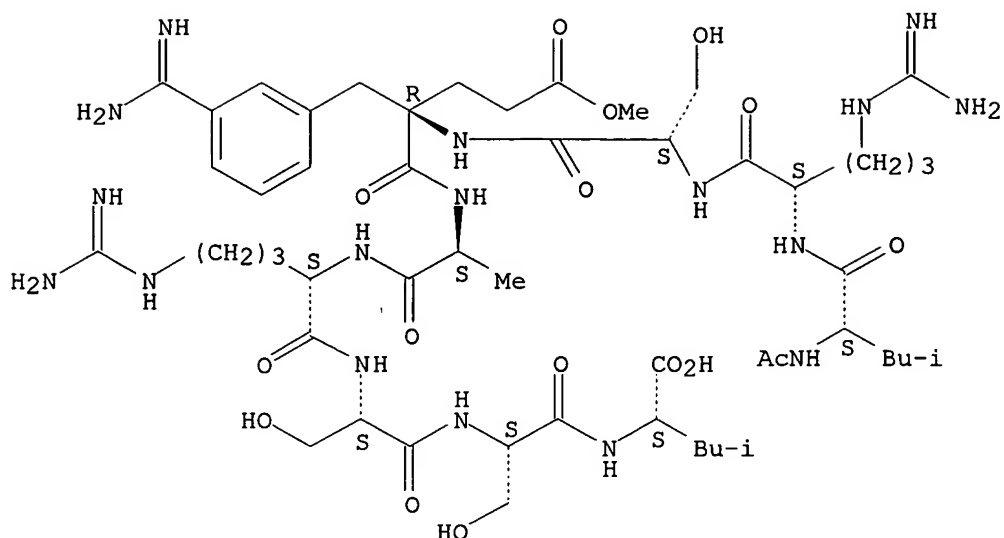
PAGE 2-A



RN 476678-95-2 CAPLUS

CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-L-alanyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

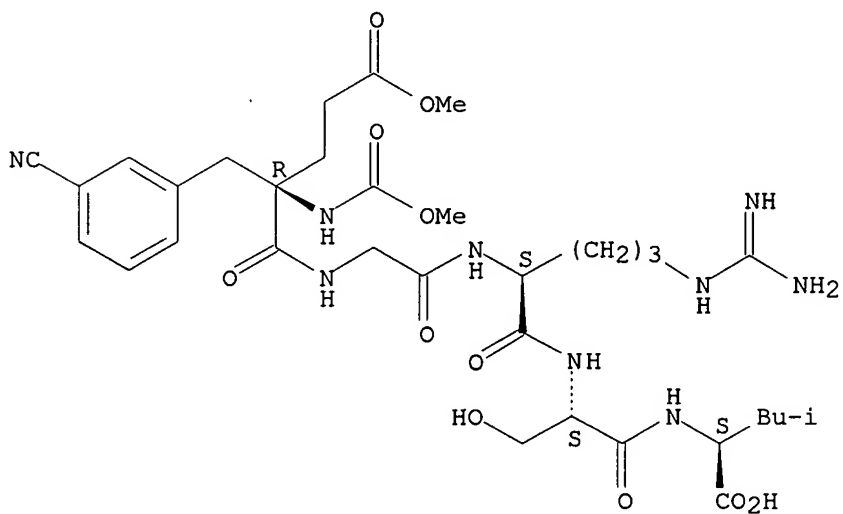
Absolute stereochemistry.



RN 476681-34-2 CAPLUS

CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

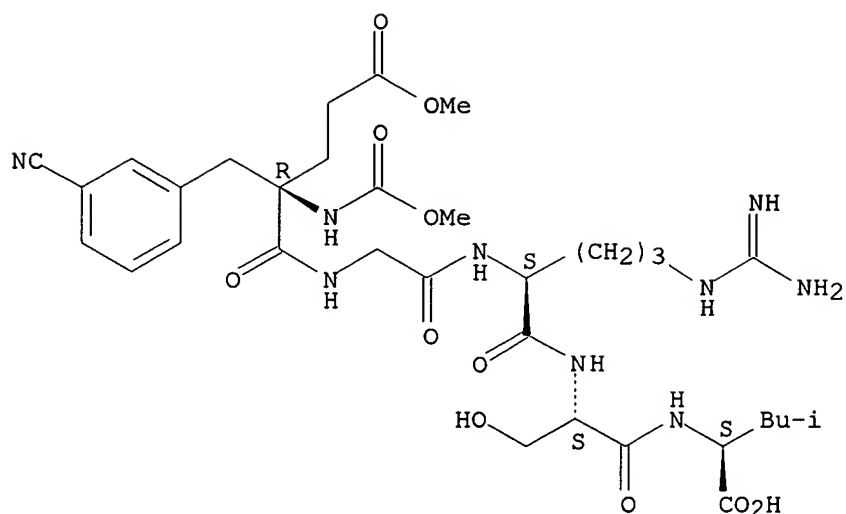
Absolute stereochemistry.



RN 476681-34-2 CAPLUS

CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

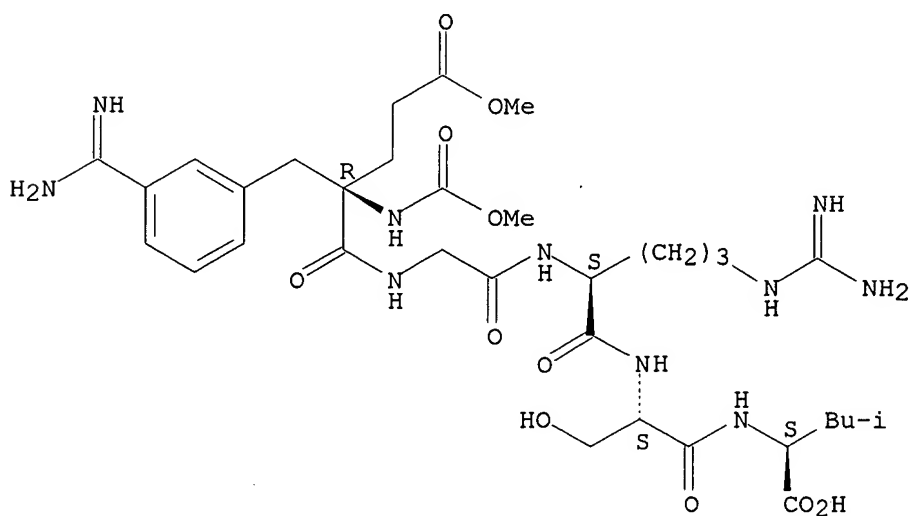
Absolute stereochemistry.



RN 476681-35-3 CAPLUS

CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L- α -glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

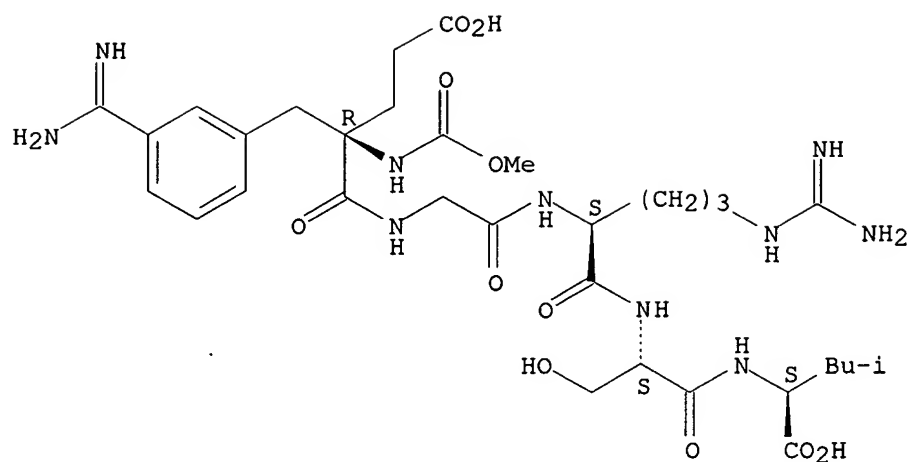
Absolute stereochemistry.



RN 476681-36-4 CAPLUS

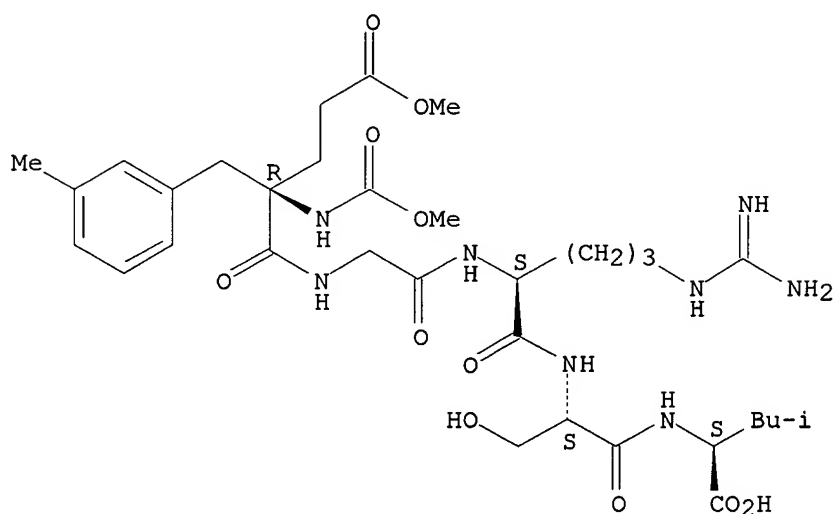
CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L- α -glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



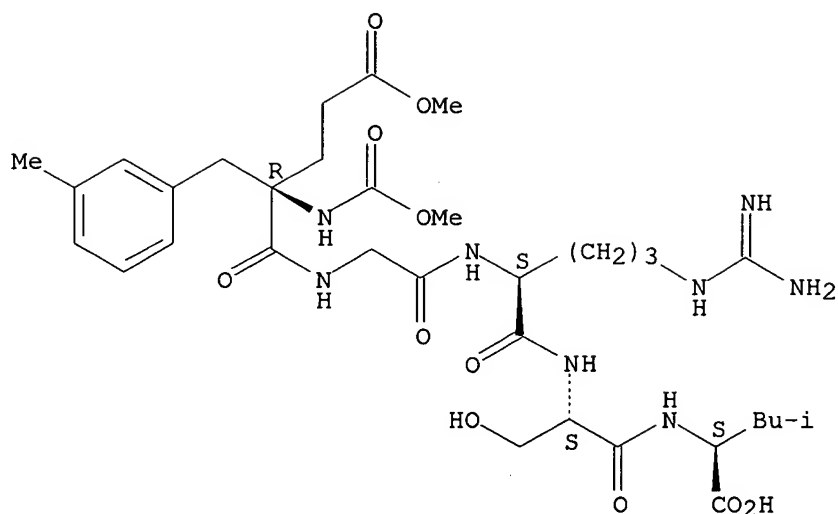
RN 476681-37-5 CAPLUS
 CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 476681-37-5 CAPLUS
 CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

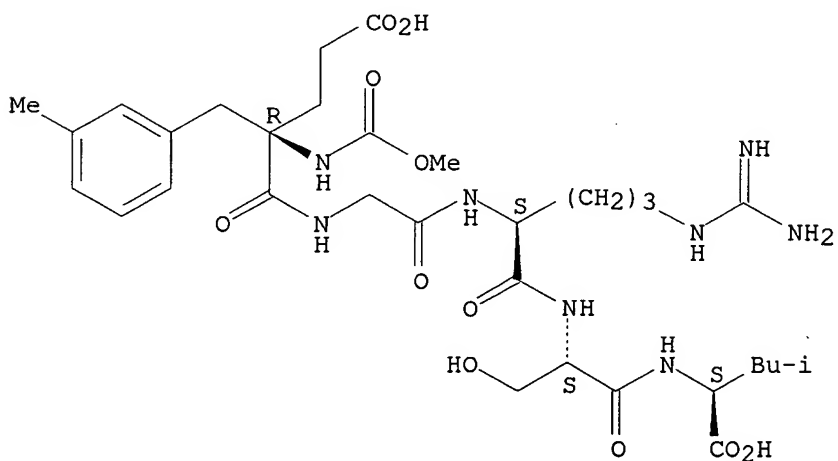
Absolute stereochemistry.



RN 476681-38-6 CAPLUS

CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

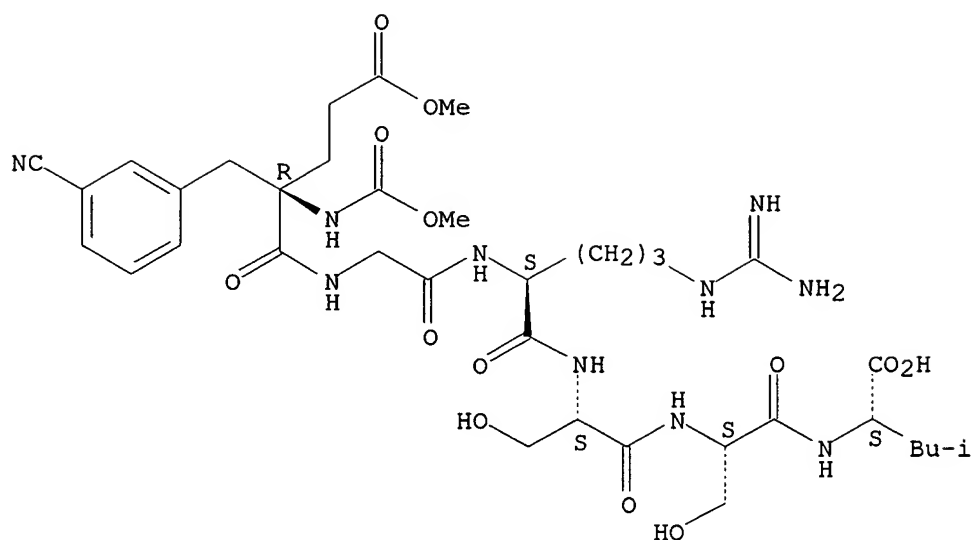
Absolute stereochemistry.



RN 476681-39-7 CAPLUS

CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

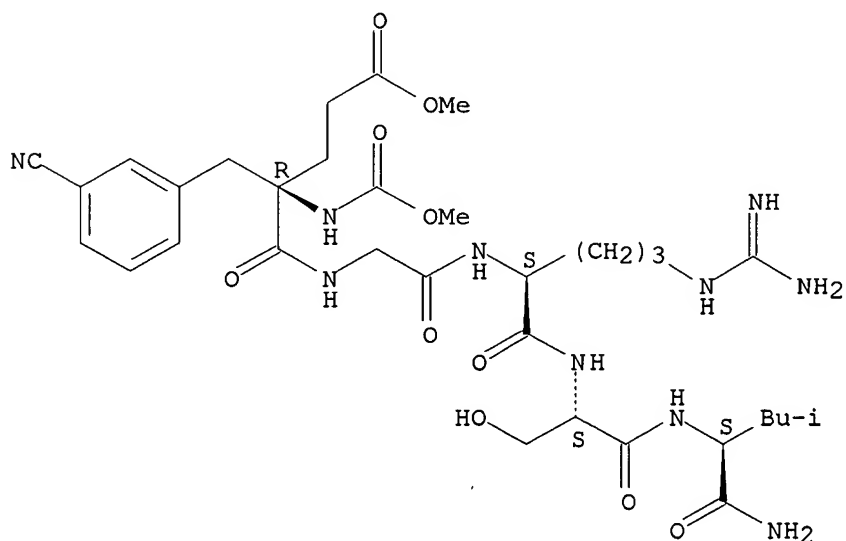
Absolute stereochemistry.



RN 476682-33-4 CAPLUS

CN L-Leucinamide, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, methyl ester (9CI) (CA INDEX NAME)

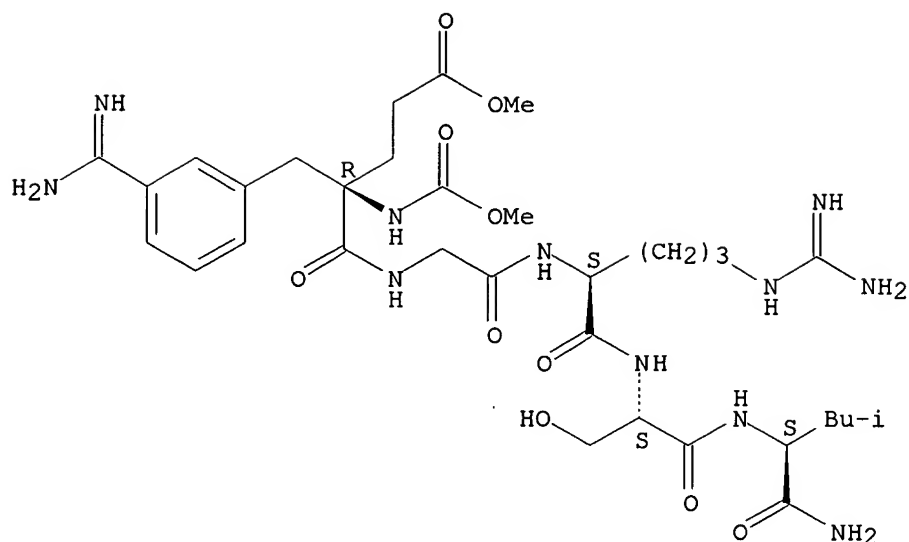
Absolute stereochemistry.



RN 476682-34-5 CAPLUS

CN L-Leucinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, methyl ester (9CI) (CA INDEX NAME)

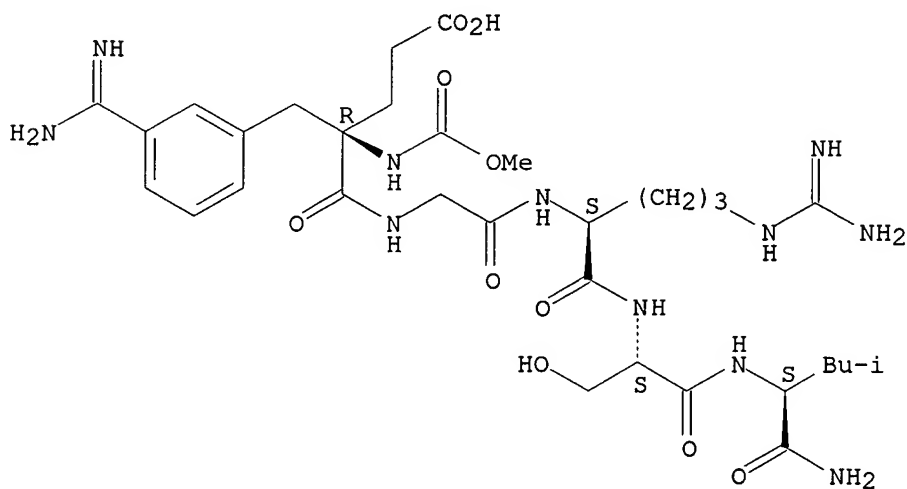
Absolute stereochemistry.



RN 476682-35-6 CAPLUS

CN L-Leucinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-
L- α -glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:185072 CAPLUS

DN 136:232549

TI Preparation of peptides as inhibitors of serine protease activity of
matriptase or MTSP1

IN Duncan, David F.; Madison, Edwin L.; Semple, Joseph Edward; Coombs, Gary
Samuel; Reiner, John Eugene; Ong, Edgar O.; Araldi, Gian Luca

PA Corvas International, Inc., USA

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020475	A2	20020314	WO 2001-US28137	20010907

WO 2002020475 A3 20030814

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6797504 B1 20040928 US 2000-657986 20000908
CA 2422157 AA 20020314 CA 2001-2422157 20010907
AU 2001088922 A5 20020322 AU 2001-88922 20010907
EP 1353902 A2 20031022 EP 2001-968692 20010907

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004509085 T2 20040325 JP 2002-525098 20010907

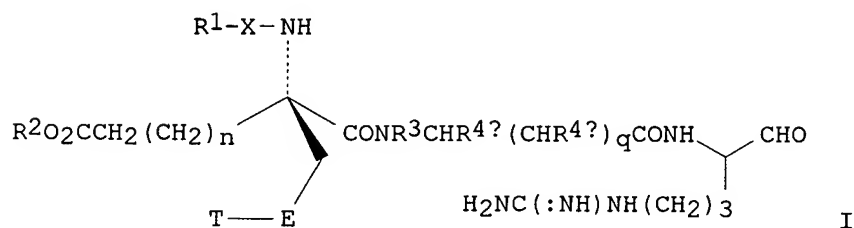
US 2003050251 A1 20030313 US 2002-92004 20020305

PRAI US 2000-657986 A 20000908

WO 2001-US28137 W 20010907

OS MARPAT 136:232549

GI



AB The invention provides compds. I [X = CO, CO₂, CONH, SO₂, SO₂NH or a direct link; R₁ = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO₂, SO₂NH or a direct link, etc.; R₂ = H, alkyl; n = 0-3; R₃ = H, Me; R_{4a}, R_{4b} = H, alkyl; q = 0-2; when q = 0, R₃ and R_{4a} form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered aromatic ring having 0-2 ring heteroatoms; T is H, OH, CH₂OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl derivative] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepared and showed IC₅₀ < 100 nM for inhibition of matriptase activity.

IT 403669-10-3P 403669-11-4P 403669-12-5P
403669-13-6P 403669-14-7P 403669-15-8P
403669-16-9P 403669-17-0P 403669-18-1P
403669-20-5P 403669-21-6P 403669-22-7P
403669-27-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

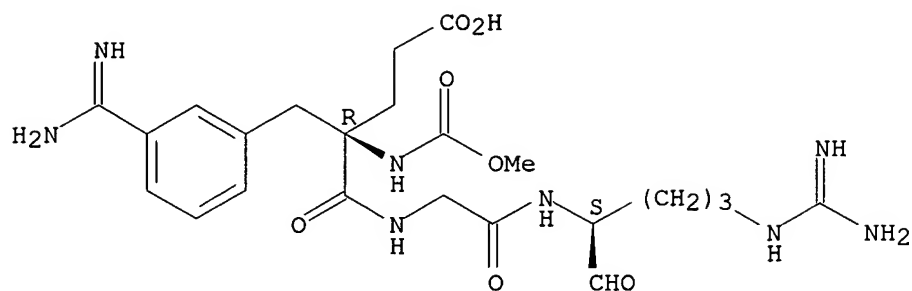
(preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

RN 403669-10-3 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI)

(CA INDEX NAME)

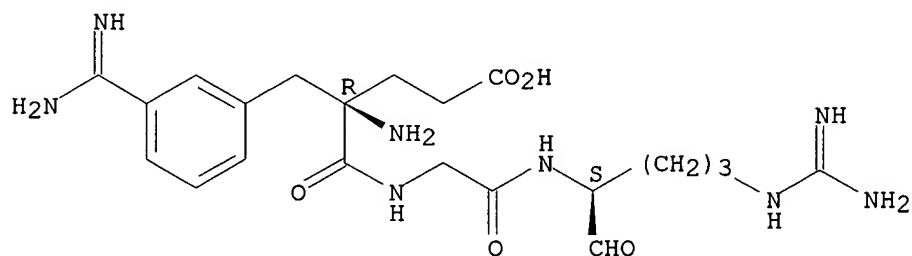
Absolute stereochemistry.



RN 403669-11-4 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

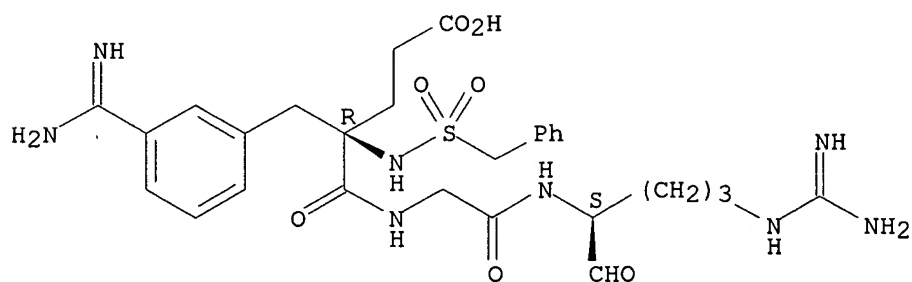
Absolute stereochemistry.



RN 403669-12-5 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

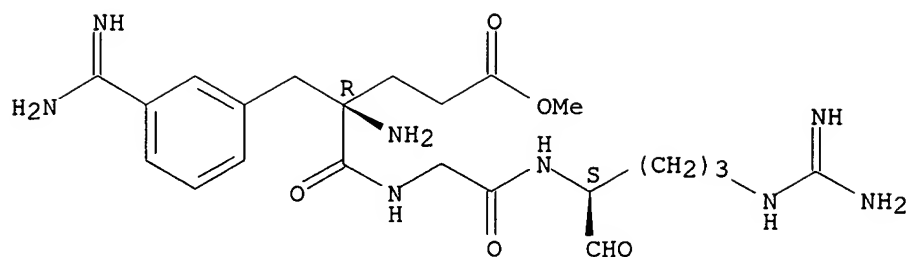
Absolute stereochemistry.



RN 403669-13-6 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

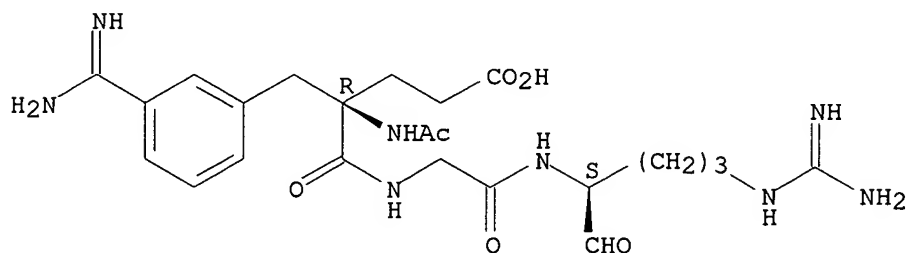
Absolute stereochemistry.



RN 403669-14-7 CAPLUS

CN Glycinamide, N-acetyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

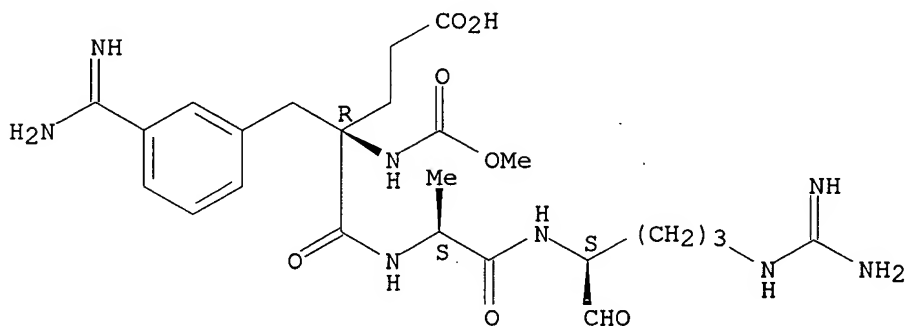
Absolute stereochemistry.



RN 403669-15-8 CAPLUS

CN L-Alaninamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

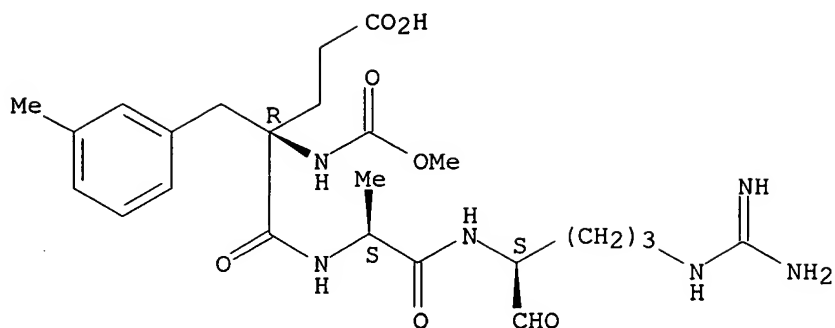
Absolute stereochemistry.



RN 403669-16-9 CAPLUS

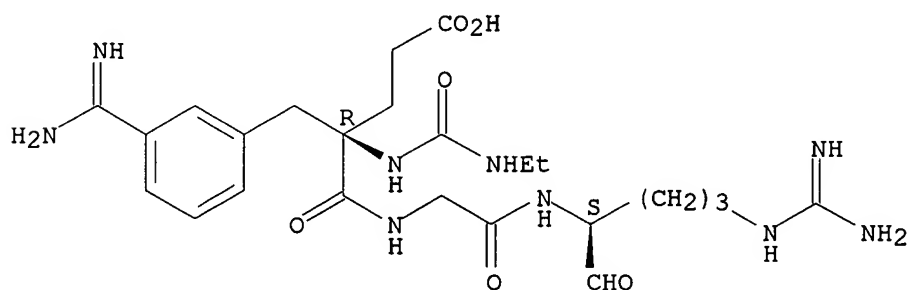
CN L-Alaninamide, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



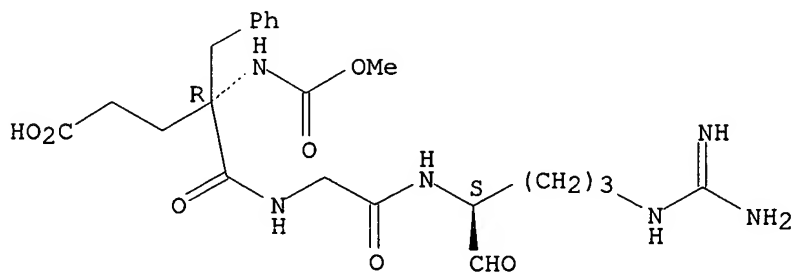
RN 403669-17-0 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-
 [(ethylamino)carbonyl]-L- α -glutamyl-N-[(1S)-4-
 [(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



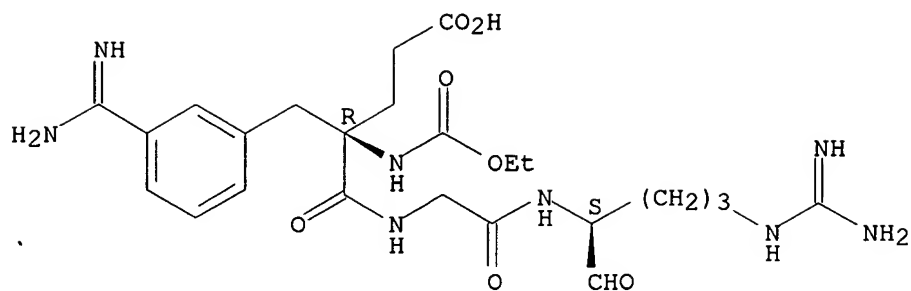
RN 403669-18-1 CAPLUS
 CN Glycinamide, N-(methoxycarbonyl)-2-(phenylmethyl)-L- α -glutamyl-N-
 [(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403669-20-5 CAPLUS
 CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(ethoxycarbonyl)-L-
 α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI)
 (CA INDEX NAME)

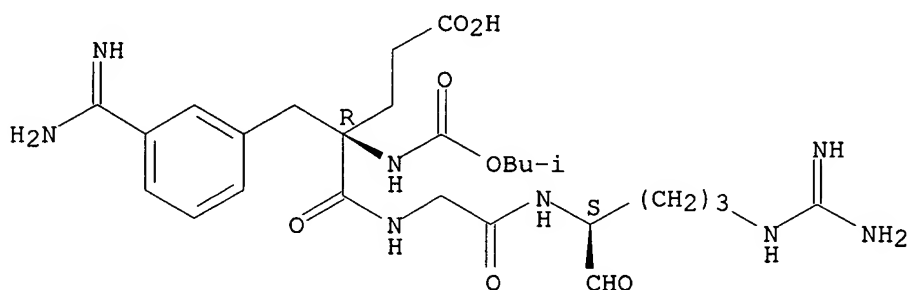
Absolute stereochemistry.



RN 403669-21-6 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(2-methylpropoxy)carbonyl]-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

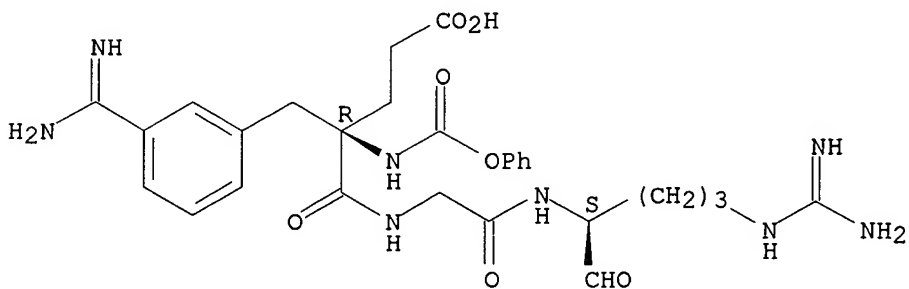
Absolute stereochemistry.



RN 403669-22-7 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(phenoxycarbonyl)-L- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

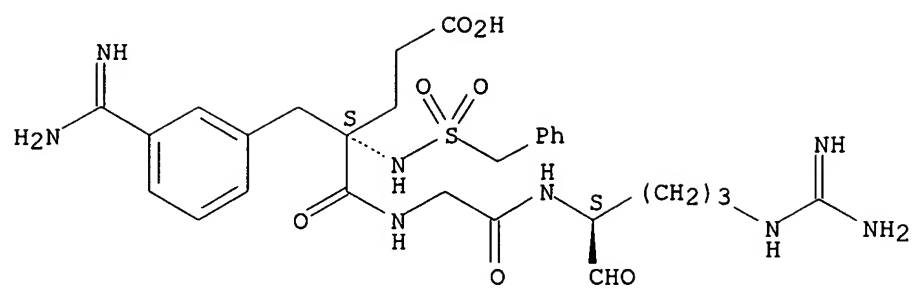
Absolute stereochemistry.



RN 403669-27-2 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-D- α -glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=>